

# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 131201**

**TO: Howard Owens**  
**Location: 5d34 / 5c18**  
**Monday, August 30, 2004**  
**Art Unit: 1623**  
**Phone: 272-0658**  
**Serial Number: 10 / 602976**

**From: Jan Delaval**  
**Location: Biotech-Chem Library**  
**Rem 1A51**  
**Phone: 272-2504**

**jan.delaval@uspto.gov**

### **Search Notes**

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:41:34 ON 30 AUG 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 29 AUG 2004 HIGHEST RN 735258-95-4  
DICTIONARY FILE UPDATES: 29 AUG 2004 HIGHEST RN 735258-95-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

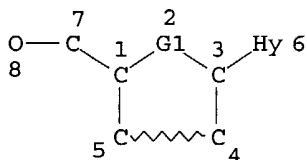
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que l23

L20 STR



VAR G1=O/S/SO2/CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS PCY AT 6

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M3 N AT 6

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L21 12715 SEA FILE=REGISTRY ABB=ON PLU=ON (N2C3-NCNC3 OR NCNC2-NC5 OR  
N3C2-NC5 OR N2CNC-NC5)/ES AND (OC4 OR SC4 OR C5)/ES

L23 2684 SEA FILE=REGISTRY SUB=L21 SSS FUL L20

100.0% PROCESSED 2966 ITERATIONS

2684 ANSWERS

SEARCH TIME: 00.00.01

=> d his

(FILE 'HOME' ENTERED AT 14:02:39 ON 30 AUG 2004)  
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 14:02:47 ON 30 AUG 2004

L1 1 S (US20040101535 OR US20030050229)/PN OR US2000-206585#/AP,PRN  
E SOMMADOSSI J/AU

L2 210 S E4,E5  
E LACOLLA P/AU  
L3 5 S E4,E5  
E LA COLLA P/AU  
L4 194 S E3-E7  
E COLLA /AU  
E NOVIRIO/PA,CS  
L5 14 S E3-E17  
SEL RN L1

FILE 'REGISTRY' ENTERED AT 14:04:34 ON 30 AUG 2004  
L6 18 S E1-E18

FILE 'HCAPLUS' ENTERED AT 14:06:43 ON 30 AUG 2004  
L7 402 S L2-L5 NOT L1

FILE 'REGISTRY' ENTERED AT 14:07:30 ON 30 AUG 2004

FILE 'HCAPLUS' ENTERED AT 14:07:30 ON 30 AUG 2004  
SET SMARTSELECT ON  
L8 SEL L7 1- RN : 5164 TERMS  
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:07:45 ON 30 AUG 2004  
L9 5164 S L8  
L10 1007 S L9 AND OC4/ES  
L11 646 S C2N3-C5N/EA AND OC4/ES  
L12 3462 S C3N2-C5N/EA AND OC4/ES  
L13 2889 S NCNC2-NC5/ES AND OC4/ES  
L14 573 S L12 NOT L13  
L15 497 S N2CNC-NC5/ES AND L11  
L16 149 S N3C2-NC5/ES AND L11  
L17 646 S L15,L16  
L18 3655 S N2C3-NCNC3/ES AND OC4/ES  
L19 76 S L9 AND L13,L17,L18  
L20 STR  
L21 12715 S (N2C3-NCNC3 OR NCNC2-NC5 OR N3C2-NC5 OR N2CNC-NC5)/ES AND (OC  
L22 50 S L20 SAM SUB=L21  
L23 2684 S L20 FUL SUB=L21  
SAV TEMP L23 OWENS602/A  
L24 55 S L9 AND L23  
L25 21 S L19 NOT L24  
L26 2629 S L23 NOT L24

FILE 'HCAPLUS' ENTERED AT 14:24:08 ON 30 AUG 2004  
L27 287 S L24  
L28 1420 S L26  
L29 1434 S L27,L28 AND (PD<=20000523 OR PRD<=20000523 OR AD<=20000523)  
E HEPATITIS C/CT  
L30 6755 S E5-E15  
E E5+ALL  
L31 8412 S E8,E9,E6+NT  
E HEPATITIS C/CT  
E E3+ALL  
L32 4192 S E2  
L33 11890 S HEPATITIS C  
L34 1 S L29 AND L30-L33  
E HEPATITIS/CT  
L35 16169 S E3-E28  
L36 1425 S E34+OLD,NT,PFT,RT  
L37 9616 S E52+OLD,NT,PFT,RT  
L38 754 S E76+OLD,NT,PFT,RT  
L39 485 S E78+OLD,NT,PFT,RT

L40 15 S E79+OLD,NT,PFT,RT  
L41 561 S E81+OLD,NT,PFT,RT  
L42 512 S E85+OLD,NT,PFT,RT  
L43 7978 S E90+OLD,NT,PFT,RT  
E E3+ALL  
E HEPATITIS VIRUS/CT  
E E3+ALL  
L44 7978 S E4,E3  
L45 43705 S HEPATITIS  
L46 6 S L29 AND L35-L45  
L47 3 S L1-L5 AND L27,L28  
L48 9 S L34,L46,L47  
L49 6 S L29 AND ?HEPATITIS?  
L50 9 S L48,L49

FILE 'REGISTRY' ENTERED AT 14:30:02 ON 30 AUG 2004

L51 6 S (RIBAVIRIN OR PROTEASE OR POLYMERASE OR HELICASE)/CN

FILE 'HCAPLUS' ENTERED AT 14:30:39 ON 30 AUG 2004

L52 37 S L51 AND L29  
L53 64 S (RIBAVIRIN OR PROTEASE OR PROTEINASE OR POLYMERASE OR HELICASE)  
L54 6 S INTERFERON AND L29

FILE 'REGISTRY' ENTERED AT 14:31:29 ON 30 AUG 2004

L55 1 S THIAZOLIDINE/CN

FILE 'HCAPLUS' ENTERED AT 14:31:32 ON 30 AUG 2004

L56 0 S L55 AND L29  
L57 0 S THIAZOLIDINE? AND L29  
L58 80 S L52-L54  
L59 16 S L58 AND (MIX? OR COMBIN? OR SYNERG? OR COMPOSITION OR COTHERAPY)  
SEL DN AN 13 L59  
L60 1 S L59 AND E1-E3  
L61 64 S L58 NOT L59  
SEL DN AN 14 18 25  
L62 3 S L61 AND E4-E12  
L63 1 S L62 AND HEPATITIS  
L64 10 S L50,L60,L63  
L65 10 S L64 AND L1-L5,L27-L50,L52-L54,L56-L64  
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 14:40:10 ON 30 AUG 2004

L66 77 S E13-E89  
L67 1 S L66 AND L51  
L68 76 S L66 AND L23

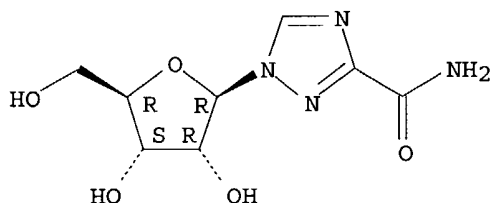
FILE 'REGISTRY' ENTERED AT 14:41:34 ON 30 AUG 2004

=> d ide can l67

L67 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 36791-04-5 REGISTRY  
CN 1H-1,2,4-Triazole-3-carboxamide, 1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 1-β-D-Ribofuranosyl-1,2,4-triazol-3-carboxamide  
CN 1-β-D-Ribofuranosyl-1,2,4-triazole-3-carboxamide  
CN ICN 1229  
CN NSC 163039  
CN Ravanex  
CN Rebetol  
CN Ribamide  
CN Ribamidil

CN Ribavarin  
 CN **Ribavirin**  
 CN Tribavirin  
 CN Vilona  
 CN Viramid  
 CN Virazole  
 FS STEREOSEARCH  
 DR 66510-90-5, 437710-49-1  
 MF C8 H12 N4 O5  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DIOGENES, DRUGU, EMBASE, HSDB\*, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IPA, MEDLINE, MRCK\*, MSDS-OHS, NAPRALERT, NIOSHTIC, PHAR, PIRA, PROMT, PROUSDDR, PS, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: WHO  
 DT.CA Caplus document type: Book; Conference; Dissertation; Journal; Patent; Report  
 RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)  
 RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
 RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)  
 RLD.NP Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1977 REFERENCES IN FILE CA (1907 TO DATE)  
 71 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 1985 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:162353  
 REFERENCE 2: 141:155723  
 REFERENCE 3: 141:140707  
 REFERENCE 4: 141:138913  
 REFERENCE 5: 141:133747  
 REFERENCE 6: 141:133621

REFERENCE 7: 141:128820

REFERENCE 8: 141:128600

REFERENCE 9: 141:122155

REFERENCE 10: 141:122153

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:41:56 ON 30 AUG 2004

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FILE COVERS 1907 - 30 Aug 2004 VOL 141 ISS 10

FILE LAST UPDATED: 29 Aug 2004 (20040829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l65 all hitstr tot

L65 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:41758 HCAPLUS

DN 132:194596

ED Entered STN: 18 Jan 2000

TI Synthesis and biological activity of 2'-fluoro-D-arabinofuranosylpyrazolo[3,4-d]pyrimidine nucleosides

AU Shortnacy-Fowler, Anita T.; Tiwari, Kamal N.; Montgomery, John A.; Buckheit, Robert W., Jr.; Secrist, John A., III; Seela, Frank

CS Southern Research Institute, Birmingham, AL, 35255-5305, USA

SO Helvetica Chimica Acta (1999), 82(12), 2240-2245

CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1

AB Coupling of 2-fluoro-3,5-di-O-benzoyl- $\alpha$ -D-arabinofuranosyl bromide with 4-methoxypyrazolo[3,4-d]pyrimidine gave an  $\alpha$ -D/ $\beta$ -D mixture of N1- and N2-coupled products. All the anomers were separated and deblocked to yield the corresponding nucleosides. The  $\beta$ -D-anomer was converted to the 4-amino derivative, which was deaminated by adenosine deaminase to give the 4-oxo compound 1-(2-Deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)-4-methoxy-1H-pyrazolo[3,4-d]pyrimidine showed significantly activity against human cytomegalovirus and hepatitis B virus; its 4-amino analog showed activity against human herpes virus 8. All the compds. were non-cytotoxic in several human tumor-cell lines in culture.

ST pyrazolo pyrimidine nucleoside prepn virucide; fluoro arabinofuranosyl pyrazolopyrimidine nucleoside prepn antiviral antitumor

IT Antitumor agents  
Antiviral agents  
Cytotoxicity  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

IT Nucleosides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

IT 259738-10-8P 259738-11-9P 259738-12-0P  
259738-13-1P 259738-14-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

IT 5399-93-9 97614-44-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

IT 259738-06-2P 259738-07-3P 259738-08-4P  
259738-09-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

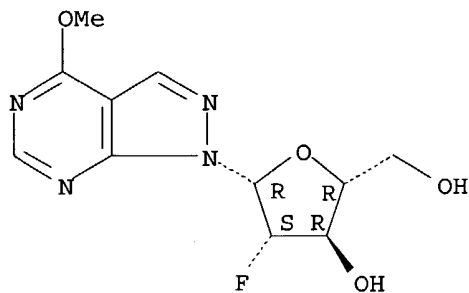
- (1) Brockman, R; Biochem Pharmacol 1977, V26, P2193 HCAPLUS
- (2) Carson, D; Proc Natl Acad Sci U S A 1980, V77, P6065
- (3) Jungmann, O; Tetrahedron Lett 1996, V37, P8355 HCAPLUS
- (4) Kazimierczuk, Z; J Am Chem Soc 1984, V106, P6379 HCAPLUS
- (5) Korba, B; Antiviral Res 1992, V19, P55 HCAPLUS
- (6) Montgomery, J; Antimetabolites in ' Cancer Chemotherapeutic Agents,' 1995,  
P47
- (7) Montgomery, J; J Med Chem 1992, V35, P397 HCAPLUS
- (8) Parker, W; Mol Pharmacol 1999, V55, P515 HCAPLUS
- (9) Robins, R; J Am Chem Soc 1956, V78, P784 HCAPLUS
- (10) Secrist, J; J Med Chem 1998, V41, P3865 HCAPLUS
- (11) Seela, F; Helv Chim Acta 1985, V68, P563 HCAPLUS
- (12) Seela, F; Helv Chim Acta 1993, V76, P1450 HCAPLUS
- (13) Seela, F; J Org Chem 1983, V48, P3119
- (14) Seela, F; Nucleosides Nucleotides 1991, V10, P713 HCAPLUS
- (15) Shaw, R; Cancer 1960, V13, P482 HCAPLUS
- (16) Shoemaker, R; submitted
- (17) Skipper, H; Cancer Res 1957, V17, P579 HCAPLUS
- (18) Tann, C; J Org Chem 1985, V50, P3644 HCAPLUS
- (19) Tatarowicz, W; J Virol Methods 1991, V35, P207 HCAPLUS
- (20) Wright, S; Blood Rev 1994, V8, P125 MEDLINE

IT 259738-10-8P 259738-11-9P 259738-12-0P  
259738-13-1P 259738-14-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

RN 259738-10-8 HCAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-(2-deoxy-2-fluoro-β-D-  
arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

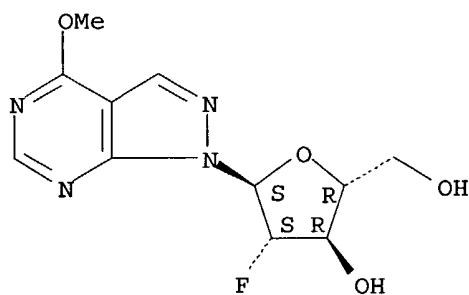
Absolute stereochemistry.



RN 259738-11-9 HCAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-(2-deoxy-2-fluoro-α-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

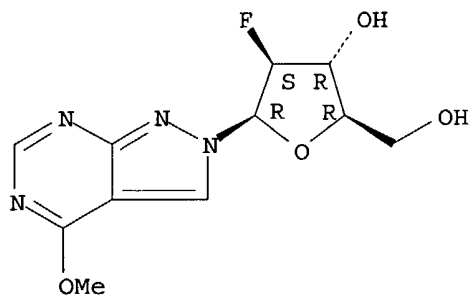
Absolute stereochemistry.



RN 259738-12-0 HCAPLUS

CN 2H-Pyrazolo[3,4-d]pyrimidine, 2-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

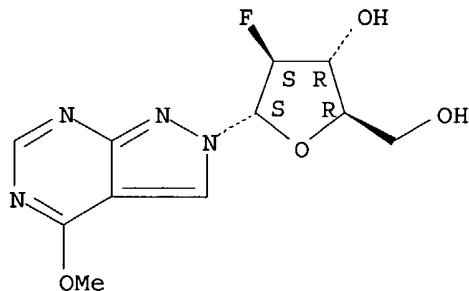


RN 259738-13-1 HCAPLUS

CN 2H-Pyrazolo[3,4-d]pyrimidine, 2-(2-deoxy-2-fluoro-α-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

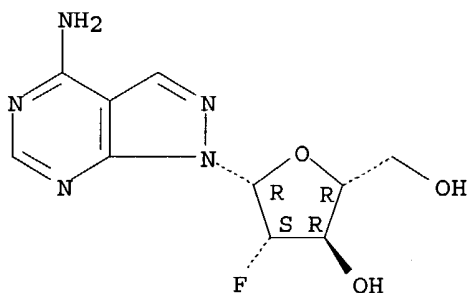




RN 259738-14-2 HCAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-deoxy-2-fluoro-beta-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



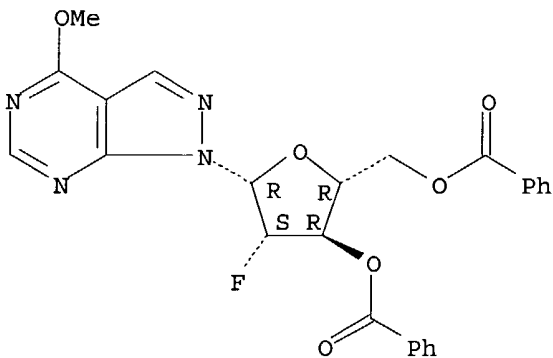
IT 259738-06-2P 259738-07-3P 259738-08-4P  
259738-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(synthesis and biol. activity of fluoro-D-arabinofuranosyl  
pyrazolopyrimidine nucleosides)

RN 259738-06-2 HCAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-beta-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

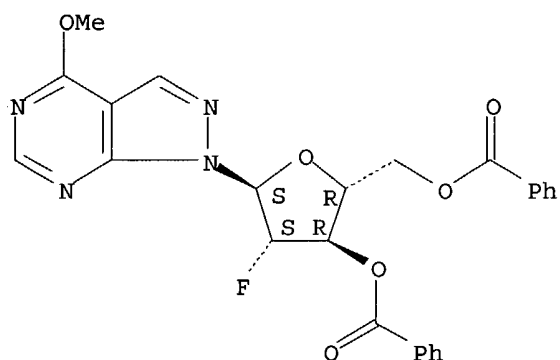
Absolute stereochemistry.



RN 259738-07-3 HCAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-alpha-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

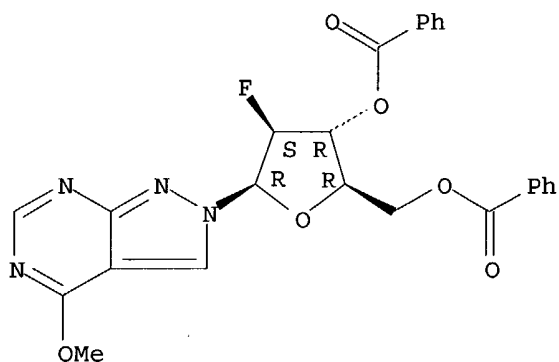
Absolute stereochemistry.



RN 259738-08-4 HCAPLUS

CN 2H-Pyrazolo[3,4-d]pyrimidine, 2-(3,5-di-O-benzoyl-2-deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

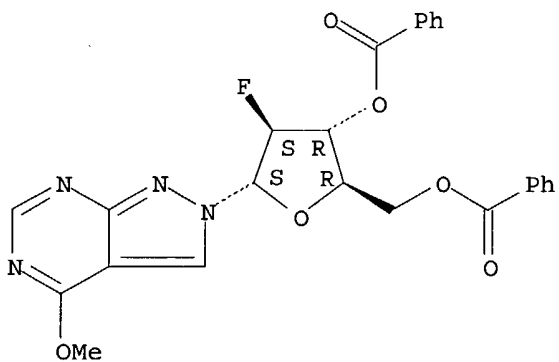
Absolute stereochemistry.



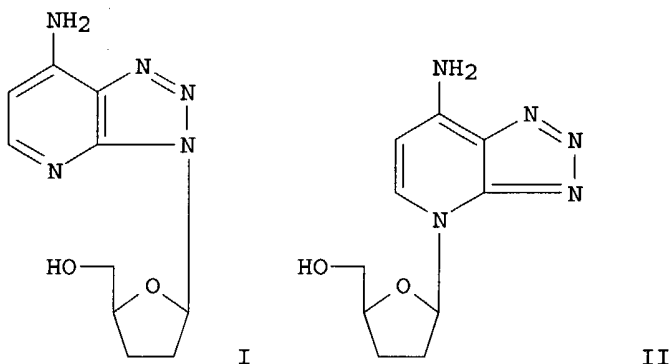
RN 259738-09-5 HCAPLUS

CN 2H-Pyrazolo[3,4-d]pyrimidine, 2-(3,5-di-O-benzoyl-2-deoxy-2-fluoro- $\alpha$ -D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



DN 122:240293  
ED Entered STN: 08 Nov 1994  
TI 8-Aza-1-deazapurine nucleosides as antiviral agents  
AU Franchetti, P.; Messini, L.; Cappellacci, L.; Abu Sheikha, G.; Grifantini, M.; Guarracino, P.; De Montis, A.; Loi, A. G.; Marongiu, M. E.; La Colla, P.  
CS Dip. Sci. Chim., Univ. Camerino, Camerino, 62032, Italy  
SO Nucleosides & Nucleotides (1994), 13(8), 1739-55  
CODEN: NUNUD5; ISSN: 0732-8311  
DT Journal  
LA English  
CC 33-9 (Carbohydrates)  
Section cross-reference(s): 1  
GI



AB Azadeazapurine nucleosides, e.g. I and II, were prepared via glycosidation of nucleobases. These dideoxy nucleosides and a series of previously synthesized 8-aza-1-deazapurine nucleosides were tested for activity against several DNA and RNA viruses, HIV-1 included. The  $\alpha$ - and  $\beta$ -anomers of 2',3'-dideoxy-8-aza-1-deazaadenosine were found active as inhibitors of adenosine deaminase.

ST azadeazapurine nucleoside prepn virucide; dideoxyazadeazaadenosine inhibitor adenosine deaminase

IT Virucides and Virustats  
(preparation and antiviral activity of azadeazapurine nucleosides)

IT Nucleosides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiviral activity of azadeazapurine nucleosides)

IT 14432-09-8 34625-29-1 34641-28-6  
34664-98-7 142591-84-2 142591-89-7  
142591-90-0 142591-95-5 142592-00-5  
162181-03-5  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation and antiviral activity of azadeazapurine nucleosides)

IT 162181-09-1P 162181-11-5P 162299-76-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiviral activity of azadeazapurine nucleosides)

IT 9026-93-1, Adenosine deaminase  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(preparation and antiviral activity of azadeazapurine nucleosides)

IT 34550-49-7 127306-45-0 162195-90-6 162299-72-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation and antiviral activity of azadeazapurine nucleosides)

IT 162181-04-6P 162181-05-7P 162181-06-8P  
 162181-07-9P 162181-10-4P 162299-73-2P  
 162299-74-3P 162299-77-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and antiviral activity of azadeazapurine nucleosides)

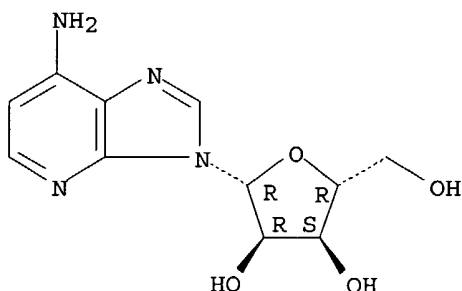
IT 162181-08-0P 162299-75-4P 162299-78-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antiviral activity of azadeazapurine nucleosides)

IT 14432-09-8 34625-29-1 34641-28-6  
 34664-98-7 142591-84-2 142591-89-7  
 142591-90-0 142591-95-5 142592-00-5  
 162181-03-5  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); BIOL (Biological study)  
 (preparation and antiviral activity of azadeazapurine nucleosides)

RN 14432-09-8 HCAPLUS

CN 3H-Imidazo[4,5-b]pyridin-7-amine, 3-β-D-ribofuranosyl- (9CI) (CA  
 INDEX NAME)

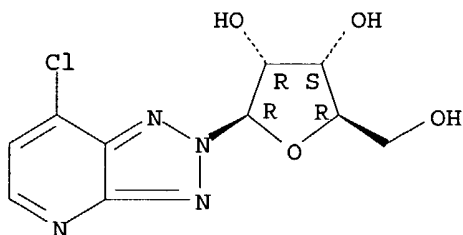
Absolute stereochemistry.



RN 34625-29-1 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-2-β-D-ribofuranosyl- (9CI)  
 (CA INDEX NAME)

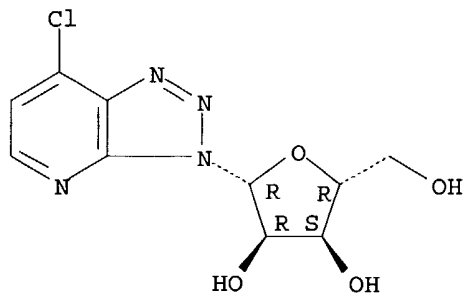
Absolute stereochemistry.



RN 34641-28-6 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-3-β-D-ribofuranosyl- (9CI)  
 (CA INDEX NAME)

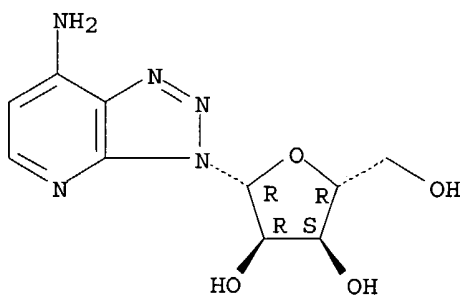
Absolute stereochemistry.



RN 34664-98-7 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 3- $\beta$ -D-ribofuranosyl- (9CI)  
(CA INDEX NAME)

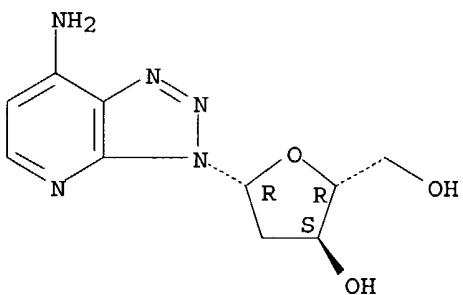
Absolute stereochemistry.



RN 142591-84-2 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 3-(2-deoxy- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

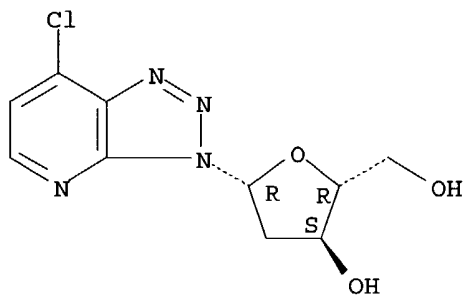
Absolute stereochemistry.



RN 142591-89-7 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-3-(2-deoxy- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

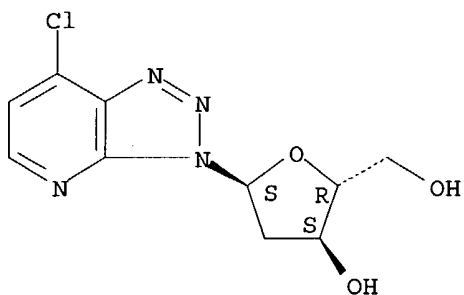
Absolute stereochemistry.



RN 142591-90-0 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-3-(2-deoxy- $\alpha$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

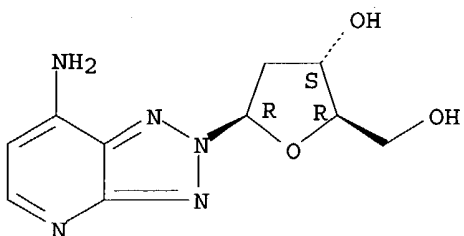
Absolute stereochemistry.



RN 142591-95-5 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 2-(2-deoxy- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

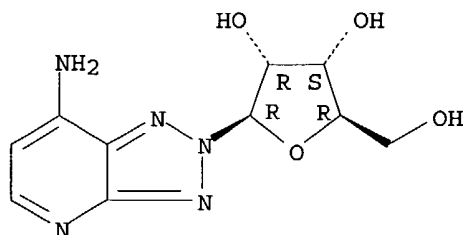
Absolute stereochemistry.



RN 142592-00-5 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 2- $\beta$ -D-ribofuranosyl- (9CI) (CA INDEX NAME)

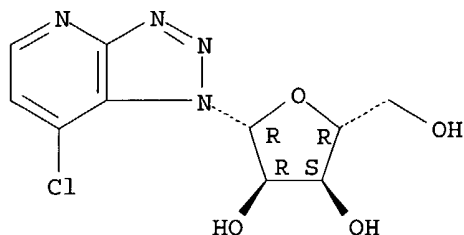
Absolute stereochemistry.



RN 162181-03-5 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-1-β-D-ribofuranosyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



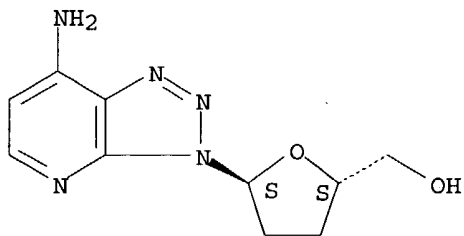
IT 162181-09-1P 162181-11-5P 162299-76-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiviral activity of azadeazapurine nucleosides)

RN 162181-09-1 HCAPLUS

CN 2-Furanmethanol, 5-(7-amino-3H-1,2,3-triazolo[4,5-b]pyridin-3-yl)tetrahydro-, (2S-trans)- (9CI) (CA INDEX NAME)

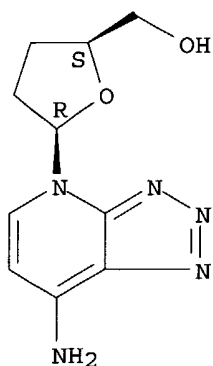
Absolute stereochemistry.



RN 162181-11-5 HCAPLUS

CN 2-Furanmethanol, 5-(7-amino-4H-1,2,3-triazolo[4,5-b]pyridin-4-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

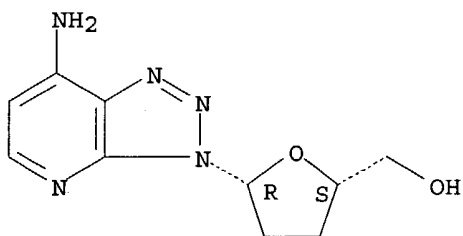
Absolute stereochemistry.



RN 162299-76-5 HCAPLUS

CN 2-Furanmethanol, 5-(7-amino-3H-1,2,3-triazolo[4,5-b]pyridin-3-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 162181-04-6P 162181-05-7P 162181-06-8P

162181-07-9P 162181-10-4P 162299-73-2P

162299-74-3P 162299-77-6P

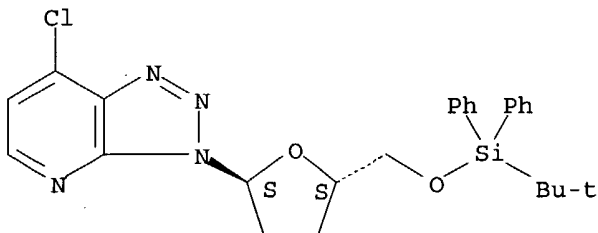
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiviral activity of azadeazapurine nucleosides)

RN 162181-04-6 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-2-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

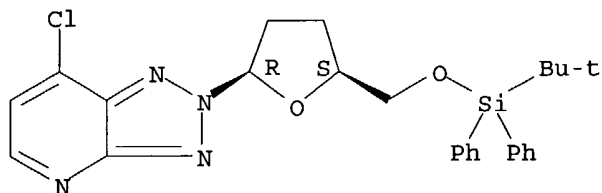


RN 162181-05-7 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-2-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

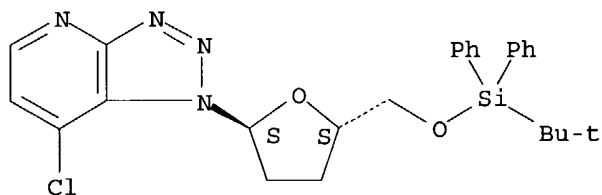




RN 162181-06-8 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

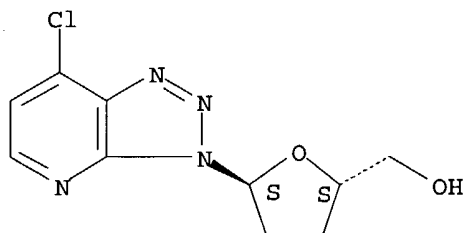
Absolute stereochemistry.



RN 162181-07-9 HCAPLUS

CN 2-Furanmethanol, 5-(7-chloro-3H-1,2,3-triazolo[4,5-b]pyridin-3-yl)tetrahydro-, (2S-trans)- (9CI) (CA INDEX NAME)

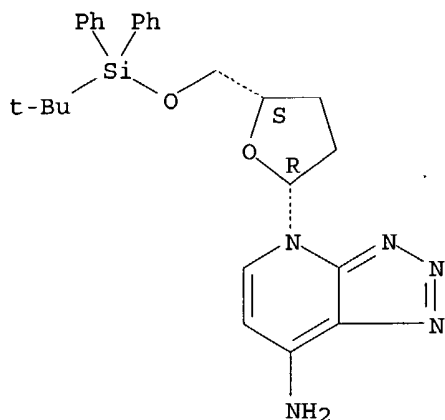
Absolute stereochemistry.



RN 162181-10-4 HCAPLUS

CN 4H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 4-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

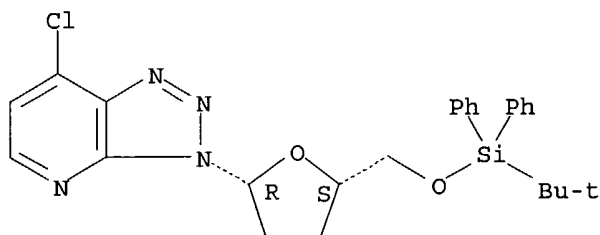
Absolute stereochemistry.



RN 162299-73-2 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-b]pyridine, 7-chloro-3-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2R-cis)-(9CI) (CA INDEX NAME)

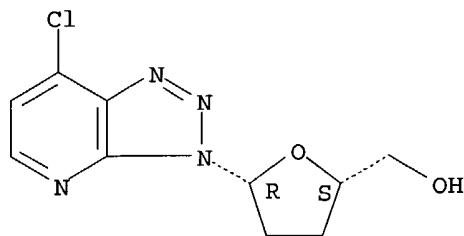
Absolute stereochemistry.



RN 162299-74-3 HCAPLUS

CN 2-Furanmethanol, 5-(7-chloro-3H-1,2,3-triazolo[4,5-b]pyridin-3-yl)tetrahydro-, (2S-cis)-(9CI) (CA INDEX NAME)

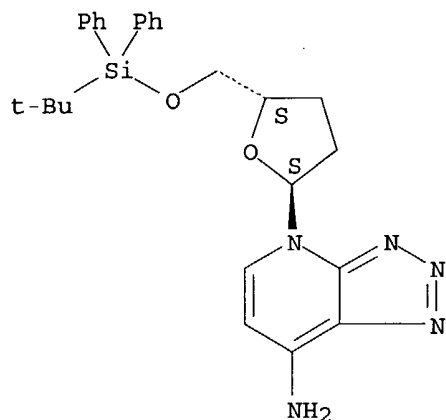
Absolute stereochemistry.



RN 162299-77-6 HCAPLUS

CN 4H-1,2,3-Triazolo[4,5-b]pyridin-7-amine, 4-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2S-trans)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



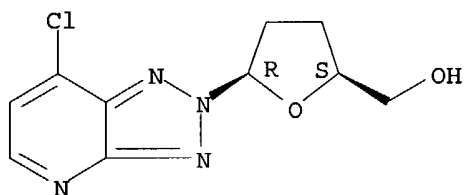
IT 162181-08-0P 162299-75-4P 162299-78-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antiviral activity of azadeazapurine nucleosides)

RN 162181-08-0 HCAPLUS

CN 2-Furanmethanol, 5-(7-chloro-2H-1,2,3-triazolo[4,5-b]pyridin-2-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

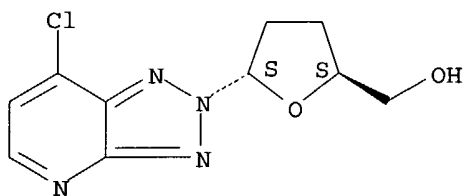
Absolute stereochemistry.



RN 162299-75-4 HCAPLUS

CN 2-Furanmethanol, 5-(7-chloro-2H-1,2,3-triazolo[4,5-b]pyridin-2-yl)tetrahydro-, (2S-trans)- (9CI) (CA INDEX NAME)

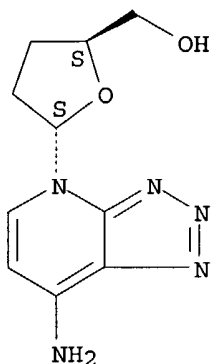
Absolute stereochemistry.



RN 162299-78-7 HCAPLUS

CN 2-Furanmethanol, 5-(7-amino-4H-1,2,3-triazolo[4,5-b]pyridin-4-yl)tetrahydro-, (2S-trans)- (9CI) (CA INDEX NAME)

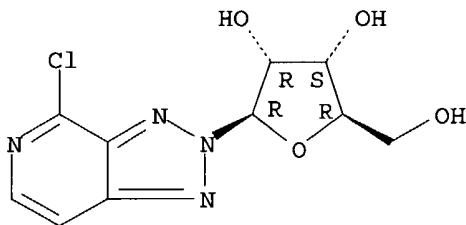
Absolute stereochemistry.



L65 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:473046 HCAPLUS  
 DN 121:73046  
 ED Entered STN: 20 Aug 1994  
 TI 8-Aza derivatives of 3-deazapurine nucleosides. Synthesis and in vitro evaluation of antiviral and antitumor activity  
 AU Franchetti, P.; Messini, L.; Cappellacci, L.; Grifantini, M.; Nocentini, G.; Guarracino, P.; Marongiu, M. E.; **La Colla, P.**  
 CS Dip. Sci. Chim., Univ. Camerino, Camerino, 62032, Italy  
 SO Antiviral Chemistry & Chemotherapy (1993), 4(6), 341-52  
 CODEN: ACCHEH; ISSN: 0956-3202  
 DT Journal  
 LA English  
 CC 1-3 (Pharmacology)  
 Section cross-reference(s): 33  
 AB The syntheses of 4-amino-1-( $\beta$ -D-ribofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (8-aza-3-deazaadenosine), 4-amino-1-(2-deoxy- $\beta$ -D-erythro-pentofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (2'-deoxy-8-aza-3-deazaadenosine), and their N8 and N7 glycosylated analogs and 4-amino-1-(2,3-dideoxy- $\beta$ -D-erythro-pentofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (2',3'-dideoxy-8-aza-3-deazaadenosine) were carried out by glycosylation of the 4-chloro-3H-1,2,3-triazolo[4,5-c]pyridine anion. The anomeric configuration as well as the position of glycosylation were determined by 1H-, 13C-NMR, UV and N.O.E. difference spectroscopy. 2'-Deoxy-8-aza-3-deazaadenosine and its parent compound 2'-deoxy-3-deazaadenosine were found active against ASFV and VSV. The 4-chloro-2-( $\beta$ -D-ribofuranosyl)-2H-1,2,3-triazolo[4,5-c]pyridine was active against Coxsackie B1, whereas none of the 8-aza-3-deaza purine nucleosides, compound included, was active against HIV-1. The 6-chloro derivs. of 8-aza-3-deazapurine ribo- and 2'-deoxyribonucleosides and showed some activity against LoVo human colon adenocarcinoma.  
 ST deazapurine nucleoside prepn antiviral antitumor structure; antiviral deazapurine nucleoside prepn structure activity; antitumor deazapurine nucleoside prepn structure activity  
 IT Neoplasm inhibitors  
 Virucides and Virustats  
 (deazapurine nucleosides, preparation and structure-activity relations of)  
 IT Molecular structure-biological activity relationship  
 (neoplasm-inhibiting, of deazapurine nucleosides)  
 IT Molecular structure-biological activity relationship  
 (virucidal, of deazapurine nucleosides)  
 IT 36258-82-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (glycosylation of)  
 IT 4330-21-6 16205-59-7 134965-83-6 135092-93-2  
 RL: BIOL (Biological study)

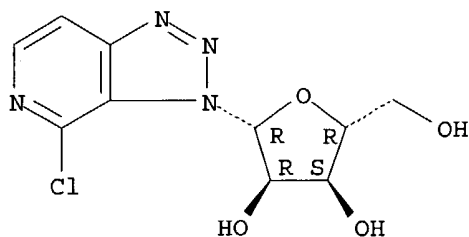
(glycosylation of chlorotriazolopyridine with)  
 IT 154707-55-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (glycosylation of, with deoxydi(toluoyl)pentofuranosyl chloride)  
 IT 57680-38-3P 57680-40-7P 57680-44-1P  
 154707-46-7P 154707-47-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitumor and antiviral activity and reaction with liquid ammonia)  
 IT 110483-88-0P 154707-38-7P, 2'-Deoxy-8-aza-3-deazaadenosine  
 154707-39-8P 154707-40-1P 154707-41-2P  
 154707-48-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antitumor and antiviral activity of)  
 IT 57680-35-0P 57680-36-1P 57680-37-2P  
 154707-42-3P 154707-43-4P 154707-44-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deprotection of)  
 IT 154707-49-0P 154707-50-3P 154707-51-4P  
 154707-52-5P 154707-53-6P 154707-54-7P  
 154801-75-9P 154801-76-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 IT 154707-45-6  
 RL: BIOL (Biological study)  
 (preparation reaction with liquid ammonia)  
 IT 57680-38-3P 57680-40-7P 57680-44-1P  
 154707-46-7P 154707-47-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitumor and antiviral activity and reaction with liquid ammonia)  
 RN 57680-38-3 HCAPLUS  
 CN 2H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-2-β-D-ribofuranosyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 57680-40-7 HCAPLUS  
 CN 3H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-3-β-D-ribofuranosyl- (9CI)  
 (CA INDEX NAME)

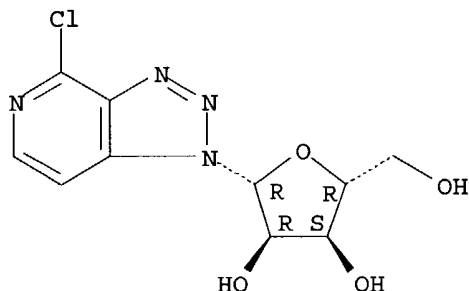
Absolute stereochemistry.



RN 57680-44-1 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-β-D-ribofuranosyl- (9CI)  
(CA INDEX NAME)

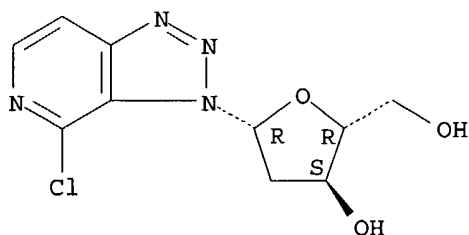
Absolute stereochemistry.



RN 154707-46-7 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-3-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

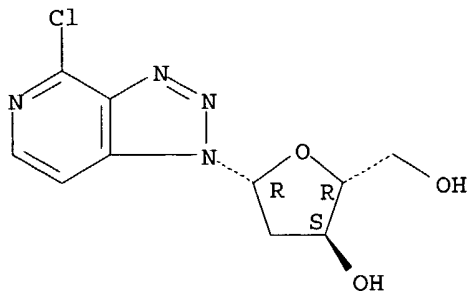
Absolute stereochemistry.



RN 154707-47-8 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 154707-38-7P, 2'-Deoxy-8-aza-3-deazaadenosine 154707-39-8P

154707-40-1P 154707-41-2P 154707-48-9P

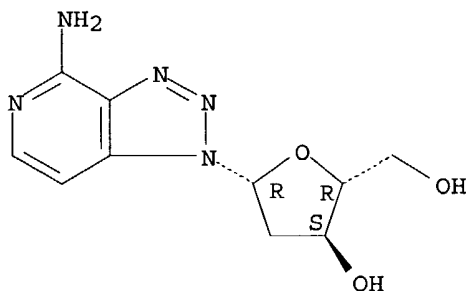
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antitumor and antiviral activity of)

RN 154707-38-7 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridin-4-amine, 1-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

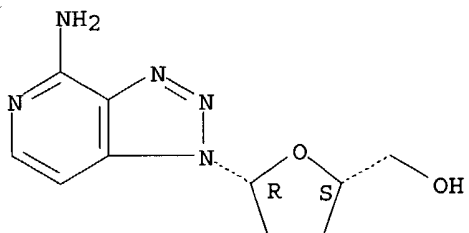
Absolute stereochemistry.



RN 154707-39-8 HCAPLUS

CN 2-Furanmethanol, 5-(4-amino-1H-1,2,3-triazolo[4,5-c]pyridin-1-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

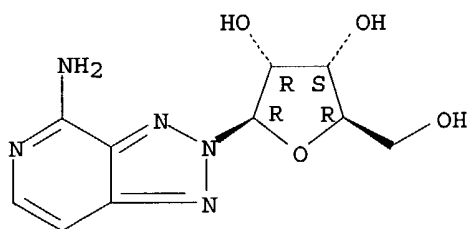
Absolute stereochemistry.



RN 154707-40-1 HCAPLUS

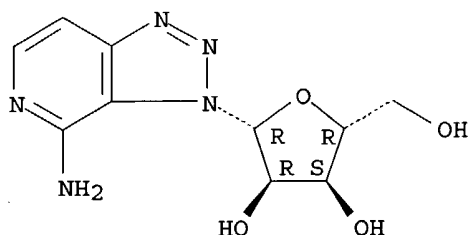
CN 2H-1,2,3-Triazolo[4,5-c]pyridin-4-amine, 2-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



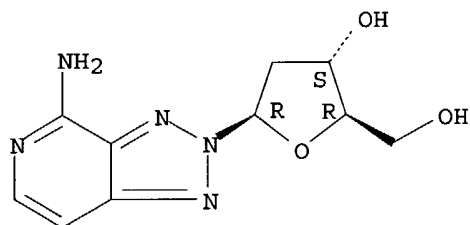
RN 154707-41-2 HCAPLUS  
 CN 3H-1,2,3-Triazolo[4,5-c]pyridin-4-amine, 3-β-D-ribofuranosyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 154707-48-9 HCAPLUS  
 CN 2H-1,2,3-Triazolo[4,5-c]pyridin-4-amine, 2-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

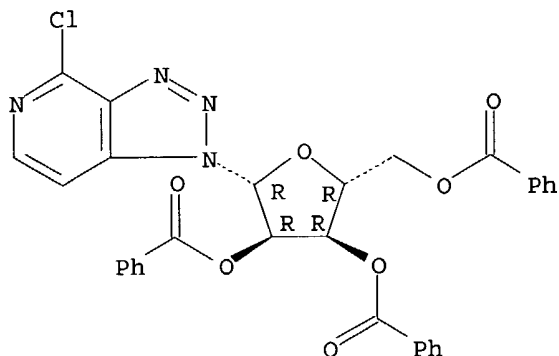
Absolute stereochemistry.



IT 57680-35-0P 57680-36-1P 57680-37-2P  
 154707-42-3P 154707-43-4P 154707-44-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deprotection of)  
 RN 57680-35-0 HCAPLUS  
 CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

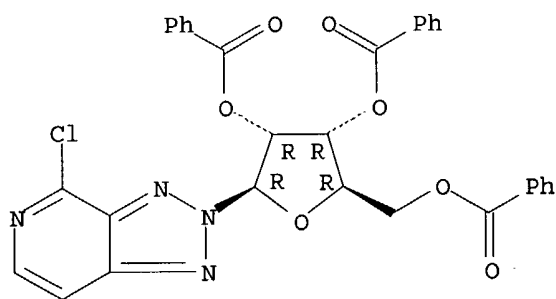




RN 57680-36-1 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-2-(2,3,5-tri-O-benzoyl- $\beta$ -D-ribofuranosyl)-(9CI) (CA INDEX NAME)

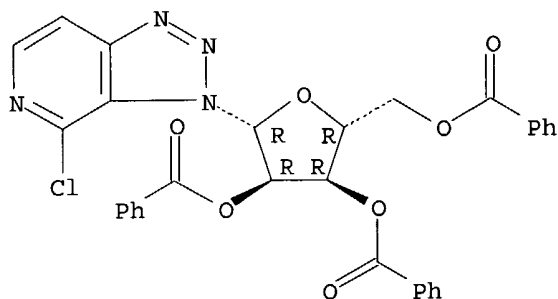
Absolute stereochemistry.



RN 57680-37-2 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-3-(2,3,5-tri-O-benzoyl- $\beta$ -D-ribofuranosyl)-(9CI) (CA INDEX NAME)

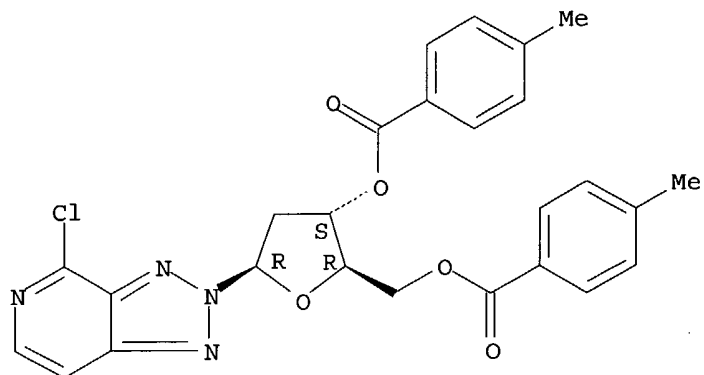
Absolute stereochemistry.



RN 154707-42-3 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-2-[2-deoxy-3,5-bis-O-(4-methylbenzoyl)- $\beta$ -D-erythro-pentofuranosyl]-(9CI) (CA INDEX NAME)

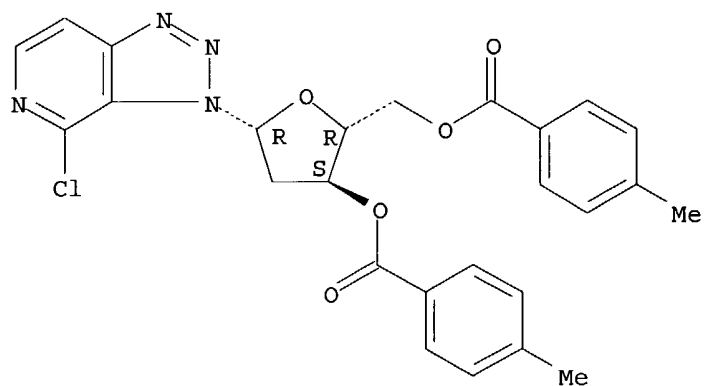
Absolute stereochemistry.



RN 154707-43-4 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-3-[2-deoxy-3,5-bis-O-(4-methylbenzoyl)]-β-D-erythro-pentofuranosyl- (9CI) (CA INDEX NAME)

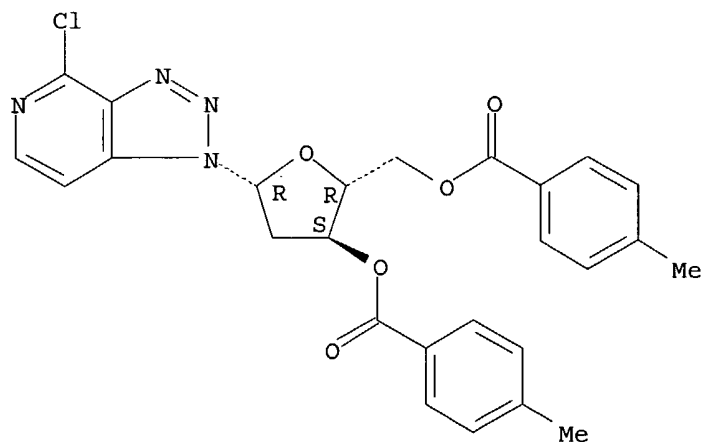
Absolute stereochemistry.



RN 154707-44-5 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-[2-deoxy-3,5-bis-O-(4-methylbenzoyl)]-β-D-erythro-pentofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



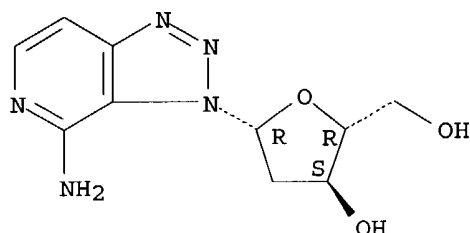
IT 154707-49-0P 154707-50-3P 154707-51-4P  
 154707-52-5P 154707-53-6P 154707-54-7P  
 154801-75-9P 154801-76-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 154707-49-0 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-c]pyridin-4-amine, 3-(2-deoxy- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

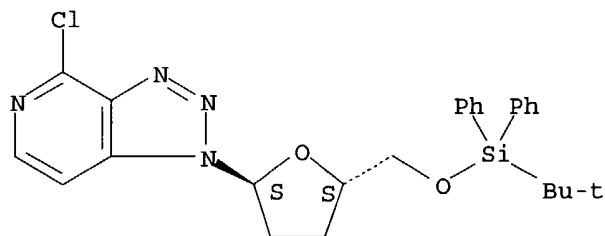
Absolute stereochemistry.



RN 154707-50-3 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]tetrahydro-2-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

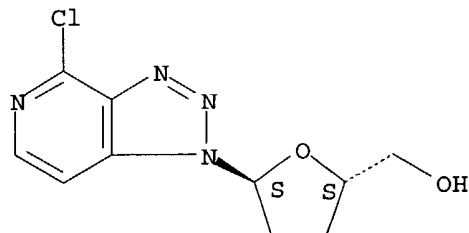
Absolute stereochemistry.



RN 154707-51-4 HCAPLUS

CN 2-Furanmethanol, 5-(4-chloro-1H-1,2,3-triazolo[4,5-c]pyridin-1-yl)tetrahydro-, (2S-trans)- (9CI) (CA INDEX NAME)

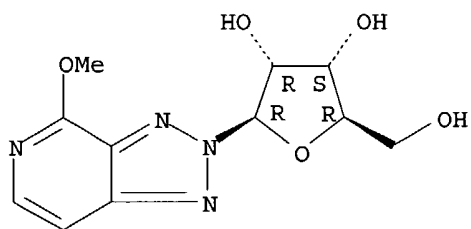
Absolute stereochemistry.



RN 154707-52-5 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-c]pyridine, 4-methoxy-2- $\beta$ -D-ribofuranosyl- (9CI) (CA INDEX NAME)

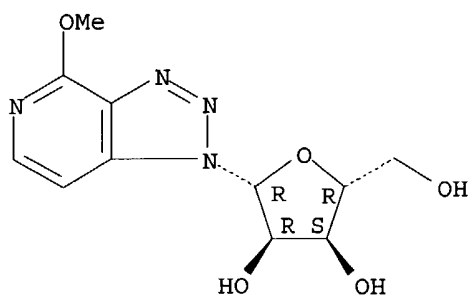
Absolute stereochemistry.



RN 154707-53-6 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-methoxy-1-β-D-ribofuranosyl-  
(9CI) (CA INDEX NAME)

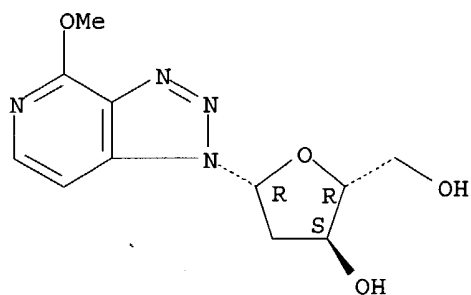
Absolute stereochemistry.



RN 154707-54-7 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 1-(2-deoxy-β-D-erythro-  
pentofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

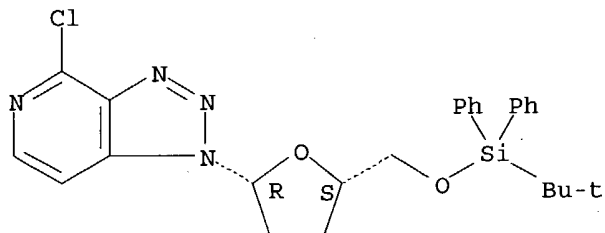
Absolute stereochemistry.



RN 154801-75-9 HCAPLUS

CN 1H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-1-[5-[[[(1,1-  
dimethylethyl)diphenylsilyl]oxy)methyl]tetrahydro-2-furanyl]-, (2R-trans)-  
(9CI) (CA INDEX NAME)

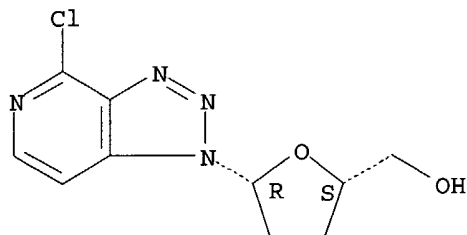
Absolute stereochemistry.



RN 154801-76-0 HCAPLUS

CN 2-Furanmethanol, 5-(4-chloro-1H-1,2,3-triazolo[4,5-c]pyridin-1-yl)tetrahydro-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



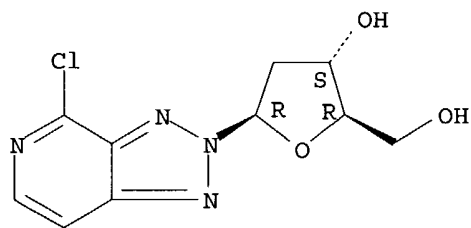
IT 154707-45-6

RL: BIOL (Biological study)  
(preparation reaction with liquid ammonia)

RN 154707-45-6 HCAPLUS

CN 2H-1,2,3-Triazolo[4,5-c]pyridine, 4-chloro-2-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:457887 HCAPLUS

DN 121:57887

ED Entered STN: 06 Aug 1994

TI 2'-deoxy-2',2'-difluoro-(2,6,8-substituted) purine nucleosides having anti-viral and anti-cancer activity and intermediates

IN Grindley, Gerald Burr; Grossman, Cora Sue; Hertel, Larry Wayne; Kroin, Julian Stanley

PA Eli Lilly and Co., USA

SO Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DT Patent

LA English

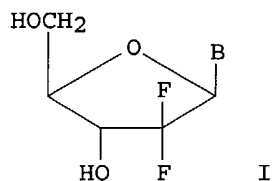
IC ICM C07H019-04

ICS A61K031-70  
 CC 33-9 (Carbohydrates)  
 Section cross-reference(s): 1, 63  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 576227	A2	19931229	EP 1993-304815	19930621 <--
	EP 576227	A3	19940209		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AU 9341347	A1	19931223	AU 1993-41347	19930618 <--
	CA 2098876	AA	19931223	CA 1993-2098876	19930621 <--
	NO 9302287	A	19931223	NO 1993-2287	19930621 <--
	BR 9302433	A	19940111	BR 1993-2433	19930621 <--
	HU 64553	A2	19940128	HU 1993-1821	19930621 <--
	JP 06056877	A2	19940301	JP 1993-149191	19930621 <--
	CN 1084178	A	19940323	CN 1993-107740	19930621 <--
PRAI	US 1992-902304		19920622	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 576227	ICM	C07H019-04
	ICS	A61K031-70
OS	MARPAT 121:57887	
GI		



AB Title compds. I [B = purine, azapurine, deazapurine base] were prepared  
 Thus, 2-amino-6-chloropurine was glycosidated, treated with MeNH<sub>2</sub>, and  
 deblocked to give I [B = 2-amino-6-methylaminopurine] which had an IC<sub>50</sub>  
 against human leukemia cells of 0.054 µg/mL and caused 56.9% inhibition  
 of hepatitis B in vitro at 0.1 µg/mL.

ST deoxydifluororibofuranosylpurine nucleoside prepn antitumor virucide;  
 purine deoxydifluororibofuranosyl

IT Neoplasm inhibitors  
 Virucides and Virustats  
 (deoxydifluororibofuranosylpurine nucleosides)

IT Nucleosides, preparation  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (deoxydifluororibofuranosylpurine, preparation and antitumor and virucidal  
 activity of)

IT 156058-20-7P 156058-22-9P 156058-23-0P 156058-26-3P 156058-27-4P  
 156058-28-5P 156058-30-9P 156058-31-0P 156058-32-1P 156058-34-3P  
 156058-37-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (preparation and antitumor activity of)

IT 156058-29-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitumor and virucidal activity of)

IT 155568-11-9P 155568-14-2P 156058-21-8P 156058-24-1P 156058-25-2P  
 156130-57-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)  
(preparation and reaction of, in preparation of  
deoxydifluororibofuranosylpurine  
nucleosides)

IT 155568-11-9P 156058-33-2P 156058-35-4P 156058-36-5P  
156058-38-7P 156058-39-8P 156058-40-1P 156058-41-2P  
156058-42-3P 156058-43-4P 156058-44-5P 156058-45-6P 156058-46-7P  
156058-47-8P 156058-48-9P 156100-12-8P 156124-74-2P 156124-75-3P  
156124-76-4P 156124-77-5P 156124-78-6P 156124-79-7P  
156124-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 5451-40-1, 2,6-Dichloropurine 10310-21-1, 2-Amino-6-chloropurine  
153012-08-9 155131-42-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of deoxydifluororibofuranosylpurine  
nucleosides)

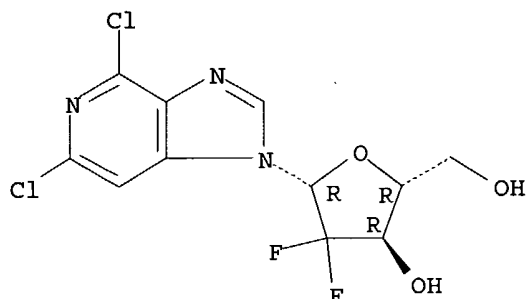
IT 156058-38-7P 156058-39-8P 156124-77-5P  
156124-79-7P 156124-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 156058-38-7 HCAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,6-dichloro-1-(2-deoxy-2,2-difluoro-β-D-  
erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

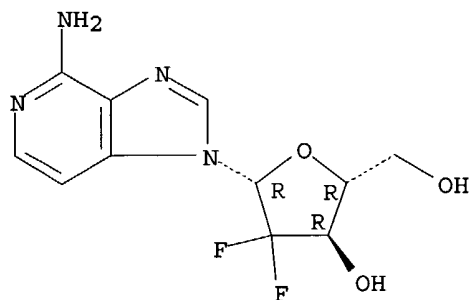
Absolute stereochemistry.



RN 156058-39-8 HCAPLUS

CN 1H-Imidazo[4,5-c]pyridin-4-amine, 1-(2-deoxy-2,2-difluoro-β-D-erythro-  
pentofuranosyl)- (9CI) (CA INDEX NAME)

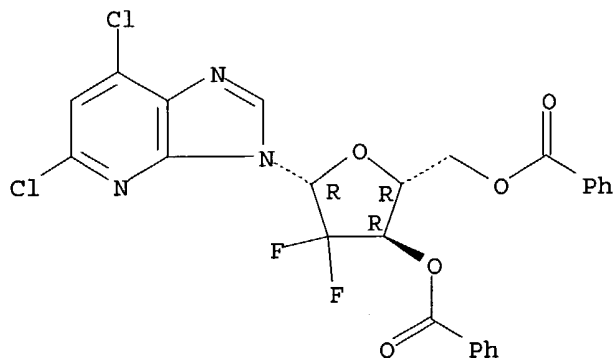
Absolute stereochemistry.



RN 156124-77-5 HCAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5,7-dichloro-3-(3,5-di-O-benzoyl-2-deoxy-2,2-  
difluoro-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

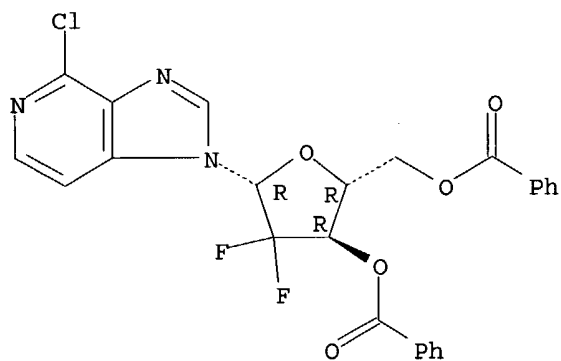
Absolute stereochemistry.



RN 156124-79-7 HCAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4-chloro-1-(3,5-di-O-benzoyl-2-deoxy-2,2-difluoro- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

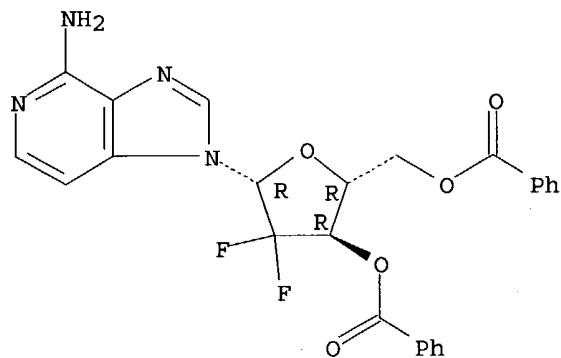
Absolute stereochemistry.



RN 156124-80-0 HCAPLUS

CN 1H-Imidazo[4,5-c]pyridin-4-amine, 1-(3,5-di-O-benzoyl-2-deoxy-2,2-difluoro- $\beta$ -D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:183004 HCAPLUS

DN 120:183004



ED Entered STN: 16 Apr 1994  
 TI Therapeutic antiviral deoxythioribonucleosides  
 IN Koszalka, George Walter; Van Draanen, Nanine Agneta; Freeman, George  
 Andrew; Short, Steven Andersen; Slater, Martin John  
 PA Wellcome Foundation Ltd., UK  
 SO PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K031-70  
 CC 1-5 (Pharmacology)  
 Section cross-reference(s): 33, 63

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9401117	A1	19940120	WO 1993-GB1387	19930701 <--
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW,				
	NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
	BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9345084	A1	19940131	AU 1993-45084	19930701 <--
PRAI	GB 1992-14170		19920702	<--	
	GB 1992-23181		19921105	<--	
	WO 1993-GB1387		19930701	<--	

## CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
OS	WO 9401117	ICM	A61K031-70
AB	MARPAT 120:183004		
	2'-Deoxy-4'-thioribonucleosides and their physiol. acceptable salts, esters, or salts of such esters are useful for the manufacture of a medicament for the treatment or prophylaxis of retroviral, cytomegaloviral, varicella zoster viral, Epstein-Barr viral, human herpes virus 6, and hepatitis viral infections, including hepatitis B, coxsackie virus and hepatitis C virus infections.		
	2'-Deoxy-4'-thioguanosine (preparation given) inhibited hepatitis B virus with an IC50 of <0.0032 µM (74.5% inhibition) and a CCID50 of 13 µM. Formulation examples are also given.		
ST	antiviral deoxythioribonucleoside; thiodeoxyribonucleoside virus inhibitor; deoxythioguanosine hepatitis B virus inhibitor		
IT	Virucides and Virustats (deoxythioribonucleosides)		
IT	Pharmaceutical dosage forms (of deoxythioribonucleosides, for treatment of virus infection)		
IT	Escherichia coli (trans-N-deoxyribosylase preparation from, for enzymic preparation of		
	antiviral deoxythioribonucleosides)		
IT	Virus, animal (Coxsackie, infection with, treatment of, with deoxythioribonucleosides)		
IT	Virus, animal (Epstein-Barr, infection with, treatment of, with deoxythioribonucleosides)		
IT	Virus, animal (cytomegalo-, infection with, treatment of, with deoxythioribonucleosides)		
IT	Virus, animal (hepatitis, infection with, treatment of, with deoxythioribonucleosides)		
IT	Virus, animal (hepatitis B, infection with, treatment of, with deoxythioribonucleosides)		

IT **Virus, animal**  
 (hepatitis C, infection with, treatment of, with deoxythioribonucleosides)

IT **Virus, animal**  
 (human cytomegalo-, inhibition of, with deoxythioadenosine)

IT **Virus, animal**  
 (human herpes 6, infection with, treatment of, with deoxythioribonucleosides)

IT **Pharmaceutical dosage forms**  
 (oral, of deoxythioribonucleosides, for treatment of virus infection)

IT **Pharmaceutical dosage forms**  
 (parenterals, of deoxythioribonucleosides, for treatment of virus infection)

IT **Virus, animal**  
 (retro-, infection with, treatment of, with deoxythioribonucleosides)

IT **Virus, animal**  
 (varicella-zoster, infection with, treatment of, with deoxythioribonucleosides)

IT 9026-93-1, Adenosine deaminase  
 RL: BIOL (Biological study)  
 (in preparation of antiviral deoxythioguanosine)

IT 135656-41-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of antiviral deoxythioadenosine)

IT 153585-36-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

IT 135656-33-6P 153666-10-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of and virus infection inhibition with)

IT 9026-86-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, from Escherichia coli, for enzymic preparation of antiviral deoxythioribonucleosides)

IT 153585-34-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, from aminomethoxypurine, trans-N-deoxyribosylase in)

IT 87-42-3, 6-Chloropurine 153585-35-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of antiviral deoxythioadenosine)

IT 134111-32-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with aminomethoxypurine, trans-N-deoxyribosylase in)

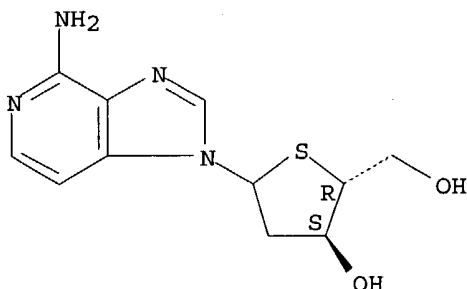
IT 20535-83-5, 2-Amino-6-methoxypurine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with deoxythiouridine, trans-N-deoxyribosylase in)

IT 153585-20-7 153585-20-7D, halo derivs. 153585-21-8 153585-22-9  
 153585-22-9D, halo derivs. 153585-23-0 153585-24-1 153585-25-2  
 153585-26-3 153585-26-3D, halo derivs. 153585-27-4 153585-28-5  
 153585-28-5D, halo derivs. 153585-29-6 153585-30-9  
 153585-30-9D, halo derivs. 153585-31-0  
 153585-32-1 153585-32-1D, halo derivs.  
 153585-33-2 153666-07-0 153666-08-1 153666-08-1D, halo  
 derivs. 153666-09-2 153666-10-5D, halo derivs.  
 RL: BIOL (Biological study)  
 (virus infection inhibition with)

IT 153585-30-9 153585-30-9D, halo derivs.  
 153585-31-0 153585-32-1 153585-32-1D, halo  
 derivs. 153585-33-2  
 RL: BIOL (Biological study)  
 (virus infection inhibition with)

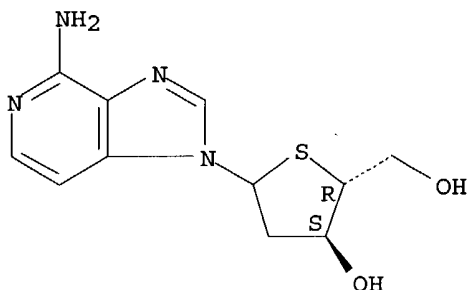
RN 153585-30-9 HCAPLUS  
CN 1H-Imidazo[4,5-c]pyridin-4-amine, 1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



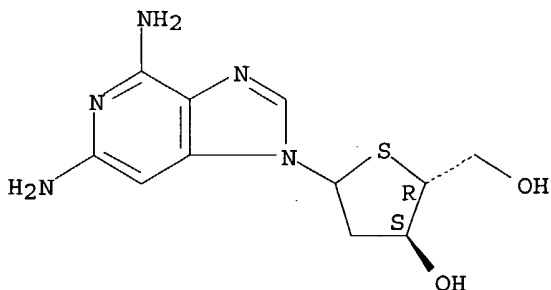
RN 153585-30-9 HCAPLUS  
CN 1H-Imidazo[4,5-c]pyridin-4-amine, 1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



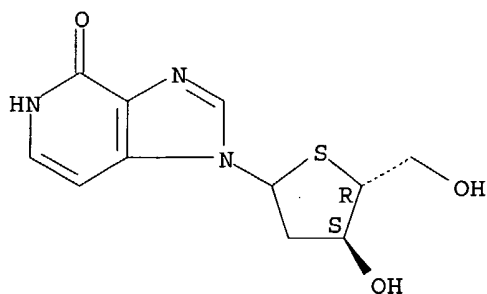
RN 153585-31-0 HCAPLUS  
CN 1H-Imidazo[4,5-c]pyridine-4,6-diamine, 1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153585-32-1 HCAPLUS  
CN 4H-Imidazo[4,5-c]pyridin-4-one, 1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,5-dihydro- (9CI) (CA INDEX NAME)

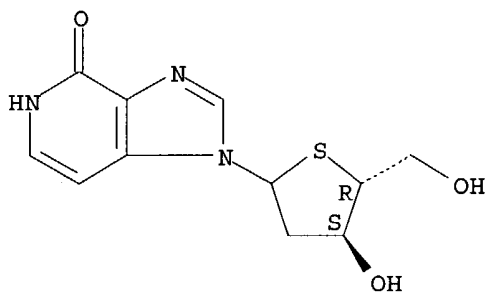
Absolute stereochemistry.



RN 153585-32-1 HCAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,5-dihydro- (9CI) (CA INDEX NAME)

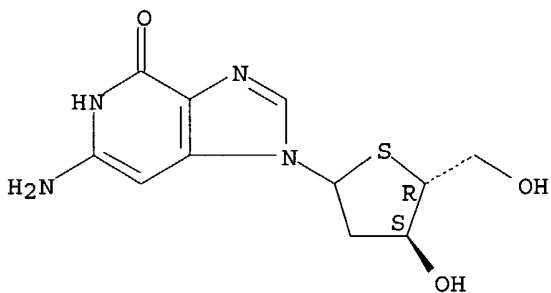
Absolute stereochemistry.



RN 153585-33-2 HCAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 6-amino-1-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:584333 HCAPLUS

DN 117:184333

ED Entered STN: 15 Nov 1992

TI Nucleobase transporter-mediated permeation of 2',3'-dideoxyguanosine in human erythrocytes and human T-lymphoblastoid CCRF-CEM cells

AU Gati, Wendy P.; Paterson, Alan R. P.; Tyrrell, David L. J.; Cass, Carol E.; Moravek, Josef; Robins, Morris J.

CS Dep. Pharmacol., Univ. Alberta, Edmonton, AB, T6G 2H7, Can.

SO Journal of Biological Chemistry (1992), 267(31), 22272-6

CODEN: JBCHA3; ISSN: 0021-9258

DT Journal  
 LA English  
 CC 1-5 (Pharmacology)  
 AB Several 2',3'-dideoxynucleosides (ddNs), agents that inhibit the replication of human immunodeficiency virus and **hepatitis B** virus, enter mammalian cells by simple diffusion. In this report, the authors show that the membrane permeation of 2',3'-dideoxyguanosine (ddG) in human erythrocytes and CCRF-CEM cells, in contrast with that of other ddNs, is transporter-mediated. Inward fluxes of ddG in both cell types were inhibited by adenine, hypoxanthine, and acyclovir, but not by inhibitors of nucleoside transport (nitrobenzylthioinosine, dipyridamole, dilazep). Fluxes of ddG in human erythrocytes were attributable to a single, rate-saturable process ( $K_m$ ,  $380 \pm 90 \mu M$  and  $V_{max}$ ,  $7.9 \pm 0.8 \text{ pmol/s/}\mu L$  cell water) that was competitively inhibited by adenine ( $K_i$ ,  $16 \mu M$ ). These results showed that ddG entered human erythrocytes and CCRF-CEM cells by a transporter-mediated process that was also the basis for entry of purine nucleobases. In contrast, inward fluxes of 2,6-diaminopurine-2',3'-dideoxyriboside (ddDAPR), a prodrug of ddG, were not affected by purine nucleobases or nucleoside transport inhibitors in either cell type. Thus, the permeation properties of ddDAPR resembled those of 2',3'-dideoxyadenosine, a diffusional permeant (cell uptake is transporter-independent), and contrasted with those of ddG, the deamination product of ddDAPR. This study demonstrated that the nucleobase moiety of ddNs is an important determinant of membrane permeation.

ST dideoxyguanosine membrane permeation nucleobase transporter; erythrocyte nucleobase transport system dideoxyguanosine permeation; lymphoblast nucleobase transport system dideoxyguanosine permeation

IT Erythrocyte  
 (dideoxyguanosine permeation in human, nucleobase transporter mediation of)

IT Virucides and Virustats  
 (dideoxyguanosine, nucleobase transporter-mediated membrane permeation of, in human cells)

IT Partition  
 (of dideoxynucleosides between octanol and buffer)

IT Animal cell line  
 (CCRF-CEM, dideoxyguanosine permeation in human, nucleobase transporter mediation of)

IT Biological transport  
 (permeation, of dideoxyguanosine in human erythrocytes and T-lymphoblastoid CCRF-CEM cells, nucleobase transporter mediation of)

IT 58-32-2, Dipyridamole 58-63-9, Inosine 68-94-0, Hypoxanthine 73-24-5, Adenine, biological studies 961-07-9, 2'-Deoxyguanosine 13877-76-4, Formycin B 35898-87-4, Dilazep 38048-32-7 59277-89-3, Acyclovir  
 RL: BIOL (Biological study)  
 (dideoxyguanosine transport by human erythrocytes and T-lymphoblastoid CCRF-CEM cells response to)

IT 85326-06-3, 2',3'-Dideoxyguanosine  
 RL: PROC (Process)  
 (nucleobase transporter-mediated permeation of, in human erythrocytes and T-lymphoblastoid CCRF-CEM cells)

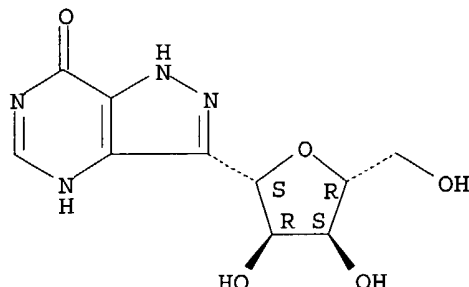
IT 4097-22-7, 2',3'-Dideoxyadenosine 69655-05-6, 2',3'-Dideoxyinosine 107550-73-2  
 RL: BIOL (Biological study)  
 (transport of, by human erythrocytes and T-lymphoblastoid CCRF-CEM cells, nucleobase transport system in relation to)

IT 13877-76-4, Formycin B  
 RL: BIOL (Biological study)  
 (dideoxyguanosine transport by human erythrocytes and T-lymphoblastoid CCRF-CEM cells response to)

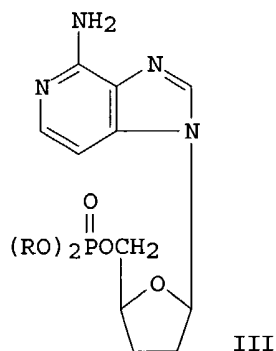
RN 13877-76-4 HCAPLUS

CN 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 1,4-dihydro-3-β-D-ribofuranosyl-  
(8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



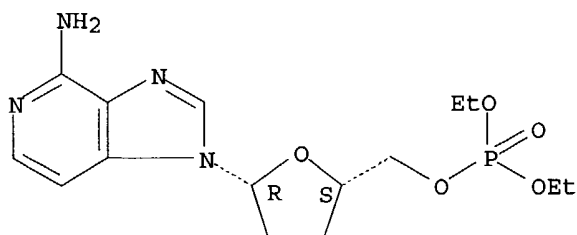
L65 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:59866 HCAPLUS  
 DN 116:59866  
 ED Entered STN: 21 Feb 1992  
 TI Synthesis and evaluation of anti-HIV-1 and antitumor activity of  
 2',3'-didehydro-2',3'-dideoxy-3-deazaadenosine, 2',3'-dideoxy-3-  
 deazaadenosine and some 2',3'-dideoxy-3-deazaadenosine 5'-dialkyl  
 phosphates  
 AU Franchetti, P.; Cappellacci, L.; Cristalli, G.; Grifantini, M.; Pani, A.;  
 La Colla, P.; Nocentini, G.  
 CS Dip. Sci. Chim., Univ. Camerino, Camerino, 62032, Italy  
 SO Nucleosides & Nucleotides (1991), 10(7), 1551-62  
 CODEN: NUNUD5; ISSN: 0732-8311  
 DT Journal  
 LA English  
 CC 33-9 (Carbohydrates)  
 Section cross-reference(s): 1  
 GI



AB The 4-amino-1-(2,3-dideoxy-β-D-glycero-pent-2-enofuranosyl)-1H-imidazo[4,5-c]pyridine (I), 4-amino-1-(2,3-dideoxy-β-D-glycero-pentofuranosyl)-1H-imidazo[4,5-c]pyridine (II), and 3-deaza analogs of the anti-HIV agents 2',3'-didehydro-2',3'-dideoxyadenosine and 2',3'-dideoxyadenosine, have been synthesized. The reaction of 3-deazaadenosine with 2-acetoxyisobutyryl bromide yielded a mixture of cis and trans 2',3'-halo acetates which was converted into olefinic nucleoside I on treatment with a Zn/Cu couple and then with NH<sub>3</sub>/MeOH. A number of

- phosphate triester derivs. of II have also been prepared Nucleotides III (R = Et, Pr, Bu) and 3-deazaadenosine have shown anti-HIV activity at non-cytotoxic doses. III have also shown significant cytostatic activity against murine colon adenocarcinoma cells.
- ST deoxydeazaadenosine phosphate virucide neoplasm inhibitor;  
dehydrodideoxyadenosine prepn virucide neoplasm inhibitor; deazaadenosine didehydrodideoxy virucide neoplasm inhibitor; nucleotide dideoxydeaza virucide neoplasm inhibitor
- IT Neoplasm inhibitors  
Virucides and Virustats  
(dideoxydeazaadenosine phosphates as)
- IT Nucleotides, polymers  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(di-, deoxy-, deazaadenine, preparation and antiviral and antitumor activity of)
- IT Nucleotides, biological studies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(dideoxy-, deazaadenine, preparation and antiviral and antitumor activity of)
- IT 4097-22-7 7057-48-9  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antiviral and antitumor activity of)
- IT 814-49-3 819-43-2 2510-89-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(phosphorylation by, of dideoxydeazaadenosine)
- IT 138352-56-4P 138352-57-5P 138352-58-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiviral and antitumor activity of)
- IT 138352-55-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation, hydrogenation, antiviral and antitumor activity of)
- IT 130948-34-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation, phosphorylation, antiviral and antitumor activity of)
- IT 40635-67-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with deazaadenosine)
- IT 6736-58-9  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(sequential bromination and elimination reaction, antiviral, and antitumor activity of)
- IT 138352-56-4P 138352-57-5P 138352-58-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiviral and antitumor activity of)
- RN 138352-56-4 HCAPLUS
- CN Phosphoric acid, [5-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)tetrahydro-2-furanyl]methyl diethyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

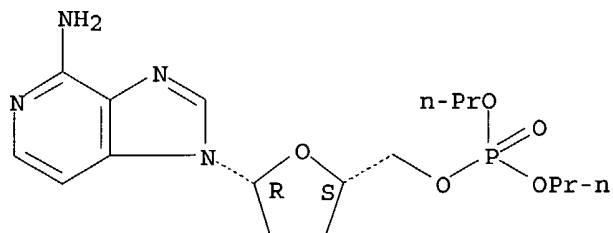
Absolute stereochemistry.



RN 138352-57-5 HCAPLUS

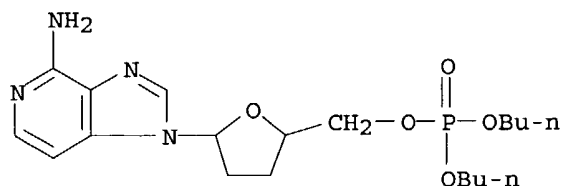
CN Phosphoric acid, [5-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)tetrahydro-2-furanyl]methyl dipropyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138352-58-6 HCAPLUS

CN Phosphoric acid, [5-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)tetrahydro-2-furanyl]methyl dibutyl ester (9CI) (CA INDEX NAME)



IT 138352-55-3P

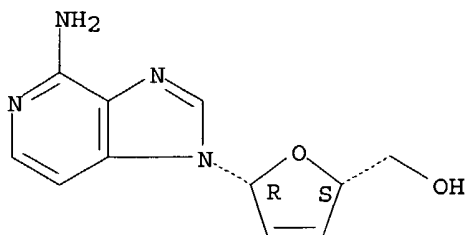
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation, hydrogenation, antiviral and antitumor activity of)

RN 138352-55-3 HCAPLUS

CN 2-Furanmethanol, 5-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-2,5-dihydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 130948-34-4P

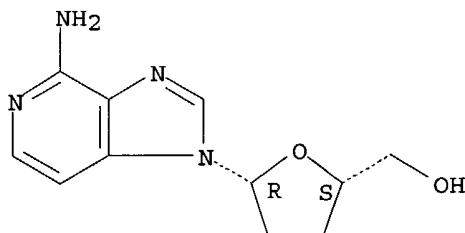
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, phosphorylation, antiviral and antitumor activity of)

RN 130948-34-4 HCAPLUS

CN 2-Furanmethanol, 5-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)tetrahydro-, (2S,5R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 6736-58-9

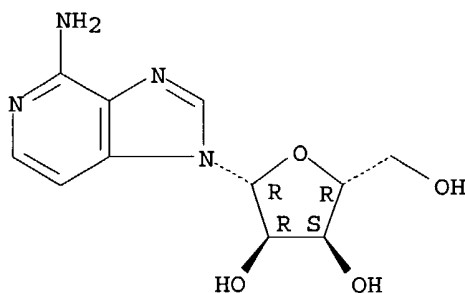
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(sequential bromination and elimination reaction, antiviral, and antitumor activity of)

RN 6736-58-9 HCAPLUS

CN 1H-Imidazo[4,5-c]pyridin-4-amine, 1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:544908 HCAPLUS

DN 113:144908

ED Entered STN: 27 Oct 1990

TI Inhibition of **hepatitis A** virus replication in vitro by  
antiviral compounds

AU Crance, J. M.; Biziagos, E.; Passagot, J.; Van Cuyck-Gandre, H.; Deloince,  
R.

CS Unite Biol. Mol., Cent. Rech. Serv. Sante Armees, La Tronche, 38702, Fr.

SO Journal of Medical Virology (1990), 31(2), 155-60  
CODEN: JMVIDB; ISSN: 0146-6615

DT Journal

LA English

CC 1-5 (Pharmacology)

AB Forty antiviral compds. were screened for inhibitory effect on  
**hepatitis A** virus (HAV) antigen expression in the human hepatoma  
cell line PLC/PRF/5. **Ribavirin**, amantadine, glycyrrhizin, and  
pyrazofurin were selected in this screening test and were studied further.  
The selectivity indexes of these four compds., calculated as the ratio of 50%  
cytotoxic dose (determined by the trypan blue exclusion and by inhibition of  
[3H]leucine incorporation) to the 50% ED (determined by the viral antigen  
expression), were 4.6 and 3.0 with **ribavirin**, 5.3 and 5.9 with  
amantadine, 15.2 and 16.9 with glycyrrhizin, and 45.4 and 74.6 with  
pyrazofurin. All four compds. resulted in concentration-dependent redns. of

HAV antigen expression and HAV infectivity. **Ribavirin**, amantadine,  
pyrazofurin, and glycyrrhizin emerged, from the present study, as  
promising candidates for chemotherapy of acute **hepatitis A**.

ST antiviral **hepatitis A** virus; **ribavirin** antiviral  
**hepatitis A** virus; amantadine antiviral **hepatitis A**  
virus; glycyrrhizin antiviral **hepatitis A** virus; pyrazofurin  
antiviral **hepatitis A** virus

IT Virucides and Virustats  
(against **hepatitis A** virus, screening for, in human hepatoma  
cells)

IT Saponins  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(antiviral activity of, against **hepatitis A** virus, in human  
hepatoma cells)

IT **Virus, animal**  
(**hepatitis A**, infection with, antiviral screening  
for therapy of, in human hepatoma cells)

IT Pentosans  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(sulfates, antiviral activity of, against **hepatitis A** virus,  
in human hepatoma cells)

IT 50-02-2, Dexamethasone 50-23-7, Hydrocortisone 50-81-7, Ascorbic acid,  
biological studies 54-21-7, Sodium salicylate 54-25-1, 6-Azauridine  
58-08-2, Caffeine, biological studies 58-32-2, Dipyridamole 66-81-9,  
Cycloheximide 73-03-0, Cordycepin 85-31-4, 6-Mercaptoguanosine  
89-83-8 113-00-8, Guanidine 141-84-4, Rhodanine 154-23-4, Catechin  
320-67-2, 5-Azacytidine 378-44-9, Betamethasone 480-18-2, Taxifolin  
768-94-5, Amantadine 1024-99-3, 5-Iodouridine 1123-54-2, 8-Azaadenine  
1147-23-5, 5-Iodocytidine 1397-89-3, Amphotericin B 1405-86-3,  
Glycyrrhizin 1445-07-4, Pseudouridine 6990-06-3, Fusidic acid  
6998-60-3, Rifamycin 9005-49-6, Heparin, biological studies 9042-14-2,  
Dextran sulfate 9072-19-9, Fucoidan 11089-65-9, Tunicamycin  
13292-46-1, Rifampicin 13877-76-4 23205-42-7, 3-Deazauridine  
26001-38-7, 8-Mercaptoguanosine 30868-30-5, Pyrazofurin  
**36791-04-5, Ribavirin**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(antiviral activity of, against **hepatitis A virus**, in human hepatoma cells)

IT 13877-76-4 36791-04-5, **Ribavirin**

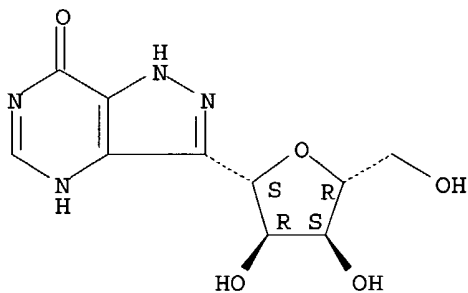
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiviral activity of, against **hepatitis A virus**, in human hepatoma cells)

RN 13877-76-4 HCAPLUS

CN 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 1,4-dihydro-3- $\beta$ -D-ribofuranosyl- (8CI, 9CI) (CA INDEX NAME)

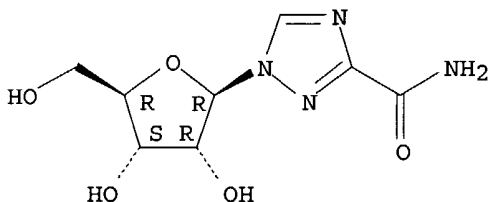
Absolute stereochemistry.



RN 36791-04-5 HCAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, 1- $\beta$ -D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1984:603955 HCAPLUS

DN 101:203955

TI Broad-spectrum **synergistic** antiviral activity of selenazofurin and **ribavirin**

AU Kirsi, Jorma J.; McKernan, Patricia A.; Burns, Noah J., III; North, James A.; Murray, Byron K.; Robins, Roland K.

CS Dep. Microbiol., Brigham Young Univ., Provo, UT, 84602, USA

SO Antimicrobial Agents and Chemotherapy (1984), 26(4), 466-75  
CODEN: AMACQ; ISSN: 0066-4804

DT Journal

LA English

CC 1-5 (Pharmacology)

AB The antiviral effects of selenazofurin [83705-13-9], **ribavirin** [36791-04-5], and 3-deazaguanosine [56039-11-3] were investigated sep. and in various **combinations** in an in vitro study. The **combination** interactions were evaluated at seven drug concns., graphically (isobolograms) or by using fractional inhibitory concentration indexes against mumps, measles, parainfluenza virus type 3, vaccinia and herpes simplex virus type 2 viruses in Vero and HeLa cells.

Selenazofurin in combination with ribavirin produced the greatest synergistic antiviral activity. However, the degree of synergy depended on the virus and cell line used. In contrast, selenazofurin combined with 3-deazaguanosine consistently yielded an indifferent or an antagonistic response, or both, whereas the ribavirin-3-deazaguanosine interaction was additive against the same viruses. Single-drug cytotoxicity was minimal for the cytostatic agents selenazofurin and ribavirin but was markedly higher for cytotoxic 3-deazaguanosine, as determined by relative plating efficiency after drug exposure. The drug combinations did not significantly increase cytotoxicity (they were only additive) when used on uninfected cells. Therefore, the enhanced antiviral activities of the drug combinations (shown to be synergistic) were due to specific effects against viral replication. These results indicated that in Vero and HeLa cells (i) the combination of selenazofurin and ribavirin produced an enhanced antiviral effect, thus requiring smaller amounts of drug to cause the same antiviral effect relative to a single compound; (ii) selenazofurin when compared with ribavirin and 3-deazaguanosine appeared to have a somewhat different mode of antiviral action; (iii) 3-deazaguanosine combined with selenazofurin was an unsuitable antiviral combination; and (i.v.) the antiviral activity of 3-deazaguanosine appeared to be due largely to its general overall cytotoxic effect.

ST antiviral ribavirin selenazofurin combination;  
deazaguanine antiviral combination

IT 36791-04-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiviral activity of deazaguanine or selenazofurin and, broad-spectrum synergistic)

IT 83705-13-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiviral activity of ribavirin or deazaguanine and, broad-spectrum synergistic)

IT 56039-11-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiviral activity of ribavirin or selenazofurin and, broad-spectrum synergistic)

IT 36791-04-5

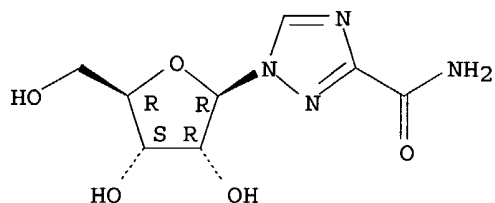
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiviral activity of deazaguanine or selenazofurin and, broad-spectrum synergistic)

RN 36791-04-5 HCAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, 1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 56039-11-3

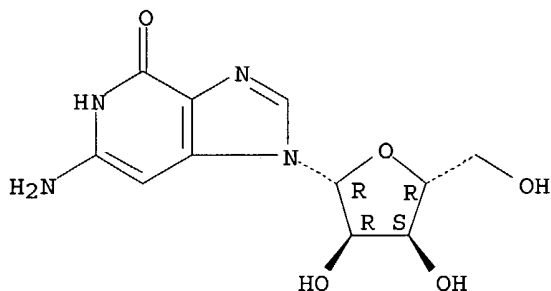
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiviral activity of **ribavirin** or selenazofurin and,  
broad-spectrum **synergistic**)

RN 56039-11-3 HCAPLUS

CN 4H-Imidazo[4,5-c]pyridin-4-one, 6-amino-1,5-dihydro-1- $\beta$ -D-  
ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L65 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1969:46065 HCAPLUS

DN 70:46065

ED Entered STN: 12 May 1984

TI Chemotherapeutic studies on mouse **hepatitis** virus. III.  
Antiviral effect of some pharmacodynamic drugs

AU Kanoh, Seizaburo

CS Nat. Inst. Hyg. Sci., Osaka, Japan

SO Chemotherapy (Tokyo) (1968), 16(6), 789-91

CODEN: NKRZAZ; ISSN: 0009-3165

DT Journal

LA English

CC 15 (Pharmacodynamics)

AB Xenaldial (100  $\mu$ g./ml.) completely inactivated mouse **hepatitis** virus EHF-120 in vitro, but this drug (125 mg./kg./day, s.c., on the 1st 3 days of infection) did not have an antiviral effect in mice. Treatment with s.c. injections of formicin (10-20 mg./kg./day) or p-carboxy-N-methylacetylnicotinic acid (?) (125-500 mg./kg./day) on the 1st 3 days of infection decreased the mortality of infected mice from a control level of 57 to 42.8 and 37.5%, resp., in 1 group of mice. Treatment of mice with s.c. injections of Benadryl-HCl (0.5 mg./kg./day, for 4 days, beginning 2 days before infection) decreased the mortality rate from a control level of 43 to 28.5%.

ST antiviral effect formicin; formicin antiviral effect; xenaldial **hepatitis** virus; **hepatitis** virus nicotimates; virus **hepatitis** nicotimates; nicotimates **hepatitis** virus; Benadryl **hepatitis** virus control

IT Virucides

IT Viruses, animal

(mouse **hepatitis**, infection with, pharmaceuticals effect on)

IT 59-67-6, Nicotinic acid 147-24-0 1094-85-5 6742-12-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(virucidal activity of)

IT 6742-12-7

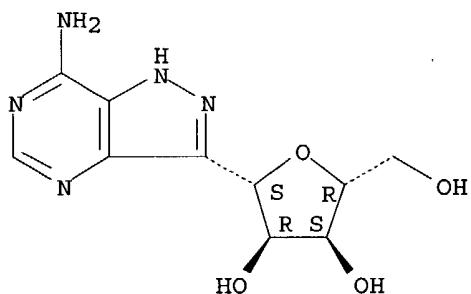
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(virucidal activity of)

RN 6742-12-7 HCAPLUS

CN D-Ribitol, 1-C-(7-amino-1H-pyrazolo[4,3-d]pyrimidin-3-yl)-1,4-anhydro-,  
(1S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=>